C:\STNEXP4\QUERIES\10075012b.str

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37
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                                                      40
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                                                              42
                                                                  43
                               34 35
                                      36
                       32
                           33
   15
      16
           17
               24
                   31
                  49
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   45
       46
           47
               48
ring nodes :
                                                                 22
                                                                     23
                                                                         25
                                      12
                                         13
                                              14
                                                  18
                                                      19
                                                          20
                                                             21
               5 6 7 8
                           9
                              10
                                  11
   1 2 3 4
   26 27 28
               29 30
chain bonds :
   1-15 \quad 3-37 \quad 4-36 \quad 6-35 \quad 8-34 \quad 10-24 \quad 10-44 \quad 11-17 \quad 12-40 \quad 13-16 \quad 14-39
   17-18 19-32 20-33 22-41 24-45 29-31 33-42 33-43 37-38 45-53
   46-47 48-49
ring bonds :
    1-2 1-14 2-3 3-4 4-5 4-30 5-6 5-28 6-7 7-8 7-25 8-9 9-10
                12-13 13-14 18-19 18-23 19-20
                                                   20-21 21-22 22-23
    10-11 11-12
                        28-29 29-30
    25-26 26-27 27-28
exact/norm bonds :
                                                   6-7 7-8 7-25 8-9
    1-2 1-14 1-15 2-3 3-4 4-5 4-30 5-6 5-28
   9-10 10-11 10-24 11-12 11-17 12-13 13-14 13-16 17-18 18-19
   18-23 19-20 19-32 20-21 20-33 21-22 22-23
                                                    24-45 25-26 26-27
                                            45-53
    27-28 28-29 29-30 29-31
                              33-42
                                     33-43
exact bonds :
    3-37 4-36 6-35 8-34 10-44 12-40 14-39 22-41 37-38 46-47 48-49
```

G1:[*1],[*2]

chain nodes :

Match level:
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom
10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:CLASS 16:CLASS 17:CLASS
18:Atom

19:Atom 20:Atom 21:Atom 22:Atom 23:Atom 24:CLASS 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:CLASS 32:CLASS 33:CLASS 34:CLASS 35:CLASS 36:CLASS 37:CLASS 38:CLASS 39:CLASS 40:CLASS 41:CLASS 42:CLASS 43:CLASS 44:CLASS 45:CLASS 46:CLASS 47:CLASS 48:CLASS 49:CLASS 53:CLASS

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C:\STNEXP4\QUERIES\10075012a.str
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ring nodes :
                                                                   25
                                         14
                                            18
                                                 19
                                                    20
                                                        21
                                                           22
                                                               23
                6 7 8
                           10
                               11
                                  12
                                     13
   1 2 3 4
              5
                         9
   26 27 28
              29 30
chain bonds :
   1-15 3-37 4-36 6-35 8-34 10-24 10-44 11-17 12-40
                                                       13-16 14-39
   17-18 19-32 20-33 22-41 29-31 33-42 33-43 37-38
ring bonds :
   1-2 1-14 2-3 3-4 4-5 4-30 5-6 5-28 6-7 7-8 7-25 8-9 9-10
   10-11 11-12 12-13 13-14 18-19 18-23 19-20
                                              20-21 21-22 22-23
   25-26 26-27 27-28 28-29
                           29-30
exact/norm bonds :
   1-2 1-14 1-15 2-3 3-4 4-5 4-30 5-6 5-28 6-7 7-8 7-25 8-9
        10-11 10-24 11-12 11-17 12-13 13-14 13-16 17-18 18-19
        19-20 19-32 20-21 20-33 21-22 22-23 25-26 26-27 27-28
   18-23
   28-29 29-30 29-31 33-42
                            33-43
exact bonds :
   3-37 4-36 6-35 8-34 10-44 12-40 14-39 22-41 37-38
Match level:
```

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom

18:Atom 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom 24:CLASS 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:CLASS 32:CLASS

44:CLASS

10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:CLASS 16:CLASS 17:CLASS

35:CLASS 36:CLASS 37:CLASS 38:CLASS 39:CLASS 40:CLASS

35

36

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42

41

43

9:Atom

25:Atom 33:CLASS

chain nodes :

15 16 17

34:CLASS 41:CLASS 24

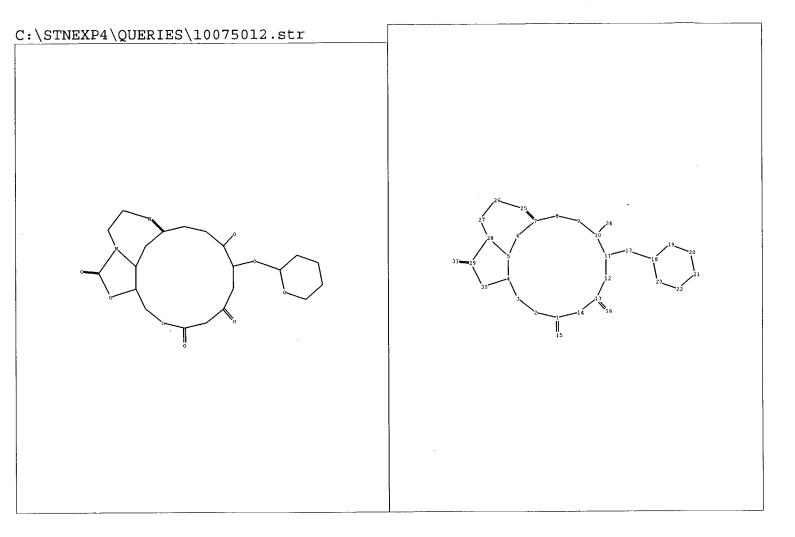
31

32

42:CLASS 43:CLASS

33

34



```
chain nodes :
   15 16 17 24 31
ring nodes :
   1 2 3 4 5 6 7 8 9 10 11 12 13 14 18 19 20
                                                      21 22 23 25
   26 27 28 29 30
chain bonds :
   1-15 10-24 11-17 13-16 17-18 29-31
ring bonds :
   1-2 1-14 2-3 3-4 4-5 4-30 5-6 5-28 6-7 7-8 7-25 8-9 9-10
   10-11 11-12 12-13 13-14 18-19 18-23 19-20 20-21 21-22 22-23
   25-26 26-27 27-28 28-29 29-30
exact/norm bonds :
   1-2 1-14 1-15 2-3 3-4 4-5 4-30 5-6 5-28 6-7 7-8 7-25 8-9
   9-10 10-11 10-24 11-12 11-17 12-13 13-14 13-16 17-18 18-19
   18-23 19-20 20-21 21-22 22-23 25-26 26-27 27-28 28-29 29-30
   29-31
Match level:
   1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom
```

10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:CLASS 16:CLASS 17:CLASS 18:Atom 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom 24:CLASS 25:Atom

26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:CLASS

=> d his

(FILE 'HOME' ENTERED AT 19:14:47 ON 23 FEB 2004)

FILE 'REGISTRY' ENTERED AT 19:14:57 ON 23 FEB 2004 L1 STRUCTURE UPLOADED

L2 QUE L1

L3 15 S L2

 ${\tt L4}$. 318 S ${\tt L2}$ SSS ${\tt FUL}$

FILE 'CAPLUS' ENTERED AT 19:15:42 ON 23 FEB 2004 L5 27 S L4

FILE 'REGISTRY' ENTERED AT 19:17:14 ON 23 FEB 2004

L6 STRUCTURE UPLOADED

L7 QUE L6

L8 294 S L7 SUB=L4 FUL

FILE 'CAPLUS' ENTERED AT 19:17:57 ON 23 FEB 2004 L9 26 S L8

FILE 'REGISTRY' ENTERED AT 19:18:20 ON 23 FEB 2004

FILE 'CAPLUS' ENTERED AT 19:19:14 ON 23 FEB 2004

FILE 'REGISTRY' ENTERED AT 19:19:26 ON 23 FEB 2004

L10 STRUCTURE UPLOADED

L11 QUE L10

L12 7 S L11

L13 78 S L11 SUB=L4 FUL

FILE 'CAPLUS' ENTERED AT 19:21:45 ON 23 FEB 2004 L14 12 S L13

=> d ibib abs hitstr 1-12

L14 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN ACCESSION NUMBER: 2004:60128 CAPLUS DOCUMENT NUMBER: 140:122754 Macrolides with and access to the company of the compan

140:122754
Macrolides with activity against methicillin-resistant
Staphylococcus aureus
Ma, Zhenkun Djuric, Stevan; Keyes, Robert; Yong, Hong /
U.S. Pat. Appl. Publ., 14 pp.
CODEN: USXXCO
Patent
Enolish INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

KIND DATE PATENT NO. US 2004014690
PRIORITY APPLN. INFO.: A1 20040122

APPLICATION NO. DATE US 2003-361912 US 2002-356292P P

Compds. I (two of Al, Bl, Cl, El = H, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, etc., and the remainder = H; Ll = C=C. (E)-CH=CH. (2)-CH=CH: Xl=H, F; RA = H, hydroxyl protecting group; Rl = (un) substituted aryl, heterocaryl, heterocaryl, heterocaryl, heterocaryl, heterocaryl, heterocaryl, heterocaryl, heterocaryl, heterocaryl, processes for making the compds. and salts of prodrugs thereof, processes for making the compds. and intermediates using the processes, compns. containing the compds., and methods for prophylaxed. The compds. demonstrated min. inhibitory concns. of 2-64 ps. 10 ps. 1

L14 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
hexamethyl-11-[[4-(3-quinolinyl)-2-butynyl]oxy]-10-[(3,4,6-trideoxy-3(dimethylamino)-6-D-xylo-hexopyranosyl]oxyl-,
(3a5,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

581804-75-3 CAPLUS
14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8 (7H,9H)trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15hexamethyl-11-[[4-[4-(2-thienyl)phenyl]-2-butynyl]oxy]-10-[(3,4,6-trideoxy3-(dimethylamino)-B-D-wylo-hexopyranoxyl]oxy]-,
(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

581804-76-4 CAPLUS
14,1-(Mitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8 (7H,9H)trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15hexamethyl-11-[[4-[4-(2-thiazolyl)phenyl]-2-butynyl]oxy]-10-[[3,4,6trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranoxyl]oxy]
(3as,4K,7R,9K,10R,11R,13R,15R,15AR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 581804-72-0 CAPLUS
CN 14,1-(Nitriloethano) -2H-oxacyclotetradecino[4,3-d]oxazola-2,6,8 (7H,9H)trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15hexamethyl-11-[[4-(2-pyridinyl)-2-butynyl]oxy]-10-[[3,4,6-trideoxy-3(dimethylamino]-9-D-xylo-hexopyranosyl]oxy]-,
(3as,4R,7R,9R,10R,11R,13R,15R,15aR)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

581804-73-1 CAPLUS
14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione,4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[[4-[4-(1,2,3-thiadiazol-5-yl]phenyl]-2-butynyl]oxy]-10-[3,4,6-trideoxy-3-(dimethylamio)-B-D-xylo-hexopyranoxyl]oxy]-(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

58]804-74-2 CAPLUS 14,1-(Mirtileethano)-2H-oxacyclotetradecino(4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-

L14 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

581804-77-5 CAPLUS
14,1-(Mitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8 (7H,9H)trione, 4-ethyl-11-[[4-[4-(2-furanyl])phenyl]-2-butynyl]oxy]3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-10-[[3,4,6trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranoxylloxyl,
(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

581804-78-6 CAPLUS
14,1-(Mitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione,11-[4-(4-ethenylphenyl)-2-butynyl]oxyl-4-ethyl3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-10-[{3,4,6-trideoxy-3-(dimethylamino)-β-0-xylo-hexpyranoxyl]oxyl(3aS,4M,7R,9R,10R,11R,13R,15R,15R)-(9C1) (CA INDEX NAME)

L14 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

581804-80-0 CAPLUS
14,1-(Mitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8 (7H,9H)trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15hexamethyl-11-[[4-{4-(2-methyl-2H-tetrazol-5-yl)phenyl]-2-butynyl]oxy]-10[(3,4,6-trideoxy,-3-(dimethylamio)-B-D-xylo-hexopyranosyl]oxy]-,
(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 2 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
582305-60-0P 582305-61-1P 582305-63-3P
582305-64-4P 582305-66-6P 582305-70-7P
582305-78-6P 582305-72-4P 582305-77-P
582305-71-3P 582305-72-4P 582305-73-5P
582305-74-6P 582305-75-7P 582305-76-8P
582305-78-0P 582305-79-1P 582305-76-8P
582305-78-0P 582305-79-1P 582305-80-4P
639826-99-5P 639827-00-2P
RI: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN
(Synthetic preparation); THU (Therapeutic use); BIOL (Biological study);
PREF (Preparation); USES (Uses)
(prepn. of erythromycin macrolide analogs having antibacterial activity)
582305-57-5 CAPLUS
14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-11-[3,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[3,4,6-trideoxy-3-(dimethylamino) methyl-2-thionyl-2-propynyl)oxyl-10[[3,4,6-trideoxy-3-(dimethylamino)-8-D-xylo-hexopyranoxylloxy]-,
(3a5,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

582305-58-6 CAPLUS
2-Thiophenecarboxaldehyde, 5-[3-[{[3aS,4R,7R,9R,10R,11R,13R,15R,15aR}]-4-ethyl-3a,4,6,7,8,9,10,11,12,13,15-lexamethyl-2,6,8-trioxo-10-[{3,4,6-trideoxy-3-{dimethyl anino}-β-D-xylo-hexopyranosyl]oxy]-14,1-{nitriloethano}-2H-oxacyclotetradecino[4,3-d]oxazol-11-yl]oxy]-1-propynyl]-, 2-{0-{phenylmethyl}oxime}, {C(E)}- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

ANSWER 2 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
SSION NUMBER: 2004:41102 CAPLUS
ENTY NUMBER: 140:77361
Preparation of macrolide erythromycin derivatives as antibacterial agents
NTOR(S): Clark, Richard; Djuric, Stevan; Ma, Zhenkun) Wang, Sanyi

INVENTOR (S):

USA U.S. Pat. Appl. Publ., 24 pp. CODEN: USXXCO PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: English

US 2004009931 A1 20040115
PRIORITY APPLN. INFO::
OTHER SOURCE(5): APPLICATION NO. DATE US 2003-361221 US 2002-356296P P

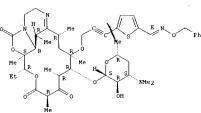
MARPAT 140:77361

The present invention discloses preparation of erythromycin macrolide

I

AB The present invention discloses preparation of erythromycin macrolius analogs, such as I (A, B, D, E = H, alkyl, alkenyl, alkynyl, cycloalkyl, sryl, heteroaryl, heterocycle, CN, Off, SH, CO2H, ester, amide, etc.; AD, AE, BD = one- to five-membered alkylene, two- to five-membered hetero-alkylene; AB, DE = one- to seven-membered alkylene, two- to seven-membered hetero-alkylene; CB, CHCHCH, (2)-CHCH, Ctplbond.C; R = H, protecting group V = H, aryl, heteroaryl, heterocycle; X = H, F; Y = arylene, hetero-arylenel, and salts, prodrugs, and salts of prodrugs thereof, for treating bacterial infections. Thus, title compds. were prepared and tested for their antihacterial activity against tsphylococcus aureus, Streptococcus progress and Streptococcus pneumoniae. Thus, (ZR, 4R, SR, 6R, 8R, 11R, 12S, 19R, 20R)-11-ethyl-2, 4, 6, 8, 12, 19-hexamethyl-7,9,14-trioxo-4-(3-15-(Chhenylamino)methyl)thien-2-yl)prop-2-ynyl)-10,13-dioxa-15, 18-diaza-tricyclo[10.6.2.015,20]icoo-1(18)-en-5-yl-3,4,6-trideoxy-3-(dimethylamino)-BD-xylo-hexopyranoside, was prepared and tested in vitro as antibacterial agent.

L14 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



582305-59-7 CAPLUS 2-Thiophenecarboxaldehyde, 5-[3-{[(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)-4-ethyl-3a,4,6,7,8,9,10,11,12,13,15.15a-dodecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8-tricxo-10-[[3,4,6-tridexy-3-(dimethylamino)- β -D-xylo-hexopyranoxyl]oxyl-14,1-(nitribethano)-2 π -oxacyclotetradecino(4,3-d]oxacol-1[-yl]oxyl-1-propynyl]-, 2-(0-methyloxime), [C(E)]- (9CI) (CA INDEX MAME)

Absolute stereochemistry.
Double bond geometry as shown.

582305-60-0 CAPLUS 2-Thiophenecarboxaldehyde, 5-{3-{[(3as,4R,7R,9R,10R,11R,13R,15R,15aR)-4-ethyl-3a,4,6,7,8,9,10,11,12,13,15,15a-dodecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-10-{[[3,4,6-trideoxy-3-(dimethylamino)-\beta-D-xylo-hexopyranosyl]oxy]-14,1-{nitriloethano}-2R-oxasyclotetradecino[4,3-d]oxazo-11-yl]oxy]-1-propynyl]-, 2-{O-phenyloxime}, [C(E)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

L14 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 582305-61-1 CAPLUS
CN 2-Thiophenecarboxaldehyde, 5-{3-{{\ [{3aS,4R,7R,9R,10R,11R,13R,15R,15aR}-4-ethyl-3a,4,6,7,8,9,10,11,12,13,15,15a-dodecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-10-{{\ [3,4,6-trideoxy-3-{\ (dimethylamino)-β-D-xylo-hexopyranosylloxy)-14,1-{\ (nitriloethano)-2H-oxacyclotetradecino{{4,3-d|oxacyclotetradecino{\ (4,3-d)-11-ylloxy)-1-propynll-2,-2-{\ (0-(1-naphthalenylmethyl)oxime], \ (CE)}- (QSI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 582305-63-3 CAPLUS
CN 2-Thiophenecarboxaldehyde, 5-[3-[[(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)-4-ethyl-3a,4,6,7,8,9,10,11,12,13,15,15a-dodecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-10-[[3,4,6-tridoewy-3-(dinethylamino)-P-D-xylo-hexopyranosyl]oxy]-14,1-(nitriloethano)-ZH-oxacyclotetradecino[4,3-d]oxacol-11-yl]oxy]-1-propynyl]-, 2-[0-(2-phenoxyethyl)oxime], [C(E)]-

L14 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 582305-67-7 CAPLUS
CN 2-Thiophenecarboxaldehyde, 5-[3-{[(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)-4-ethyl-3a,4,6,7,8,9,10,11,12,13,15,15a-dodecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-10-[{3,4,6-trideoxy-3-{dimethylamino}-β-D-xylo-hexopyranosyl]oxy]-14,1-{nitriloethano}-2H-oxacyclotetradecino{4,3-d]oxacol-11-yl]oxy]-1-propynyl]-, 2-{0-(3-quinolinylmethyl)oxime}, {C(E)}-(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 582305-68-8 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[[3-[5-[{2-phenylethyl}]amino]methyl]-2-thienyl]-2-propyyl]oxyl-10-[[3,4,6-trideoxy-3-(dimethylamino]m-p-B-xyl)-hexopyranosyl]oxyl-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAMF)

Absolute stereochemistry.

Page 4

L14 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 582305-64-4 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15hexamethyl-11-[[3-[5-[([phenylmethyl])amino]methyl]-2-thienyl]-2propynyl]oxyl-10-[(3,4,6-trideoxy-3-(dimethylamino)-9-D-xylohexopyranosyl]oxyl-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 582305-66-6 CAPLUS
CN 2-Pyridinecarboxylic acid, (2E)-[[5-[3-[[(3aS,4R,7R,9R,10R,11R,13R,15R,15a]]]]
R)-4-ethyl-3a, 4, 6, 7, 8, 9, 10, 11, 12, 13, 15, 15a-dodecahydro-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8-trioxo-10-[[3, 4, 6-trideoxy-3-[dimethylamino]-β-D-xylo-hexopyranoxyl] oxyl-14, 1-(nitriloethano)-2R-Oxacyclotetradecino[4, 3-d] oxazol-11-yl] oxyl-1-propynyl]-2-thienyl]methylene] hydrazide (9C1) (CA

L14 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 582305-69-9 CAPLUS
CN 14,1-(Nitriloethano) - 2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8 (7H,9H) trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15hexamethyl-11-[[3-[5-[(3-phenylpropyl)amino]methyl]-2-thienyl]-2propynyllowyl-10-[[3,4,6-tridoxy-3-(dimethylamio)-PB-xylohexopyranosyl]oxyl-, (3a5,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX
NAME)

Absolute stereochemistry.

RN 582305-70-2 CAPLUS
CN 14, 1-(Nitriloethano) - 2H-oxacyclotetradecino [4,3-d] oxazole-2,6,8 (7H,9H) trione, 4-ethyl-33,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15hexamethyl-11-[[3-[3-(2-pyridinyloxy)] phenyl]-2-propynyl] oxy]-10-[[3,4,6trideoxy-3-(dinethylamino)-8-D-xylo-hexopyranoxyl] oxy](3a5,4R,7R,9R,10R,11R,13R,15R,15R) - (9CI) (CA INDEX NAME)

L14 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 582305-71-3 CAPLUS
CN 2-Thiophenecarboxamide, 5-[3-[[(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)-4-ethyl-3a,4,6,7,8,9,10],11,12,13,15-hexamethyl-2,6,8-trioxo-10-[[3,4,6-trideoxy-3-(dimethyl-amino)-β-D-xylo-hexopyranosyl]oxy]-14,1-(nitriloethano)-2H-oxacyclotetradecino(4,3-d)oxacol-11-ylloxyl-1-propynyl-N-(3-filorophenyl)- (9Cl) (CA INDEX NAME)

Absolute stereochemistry.

RN 582305-72-4 CAPLUS

2-Thiophenecarboxamide, 5-[3-[[(3aS, 4R, 7R, 9R, 10R, 11R, 13R, 15R, 15aR)-4-ethyl-3a, 4, 6, 7, 8, 9, 10, 11, 12, 13, 15, 15a-dodecahydro-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8-trioxo-10-[(3, 4, 6-trideoxy-3-(dimethylamino)-9-D-xylo-hexopyranosyl]oxy]-14, 1-[nitriloethano)-ZH-oxacyclotetradecino(4, 3-d]oxacyclotetradecino(4, 3-d]oxacyclotetradecino(4, 3-dloxacyclotetradecino(4, 3-dloxacyclotetradeci

Absolute stereochemistry.

L14 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued

RN 582305-75-7 CAPLUS
CN 14,1-(Nitriloethano) -2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8 (7H,9H)trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15hexamethyl-11-[{3-(4-phenoxyphenyl)-2-propynyl]oxy}-10-[{3,4,6-trideoxy-3(dimethylanino)-8-D-zylo-hexopyranosyl]oxy}-,
(3a5,4R,7R,9R,10R,11R,13R,15R,15aR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 582305-76-8 CAPLUS
CN 2-Thiophenecarboxamide, 5-[3-[[(3aS, 4R, 7R, 9R, 10R, 11R, 13R, 15R, 15aR)-4-ethyl-3a, 4, 6, 7, 8, 9, 10, 11, 12, 13, 15-hexamethyl-2, 6, 8-trioxo-10-[(3, 4, 6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranoxyl)oxyl-4, 1-(nitrilecthano)-B-D-xylo-diversadecino(4, 3-d)oxazol-11-yl]oxyl-1-propynyl)-N-3-pyridinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 582305-73-5 CAPLUS
CN 14,1-[Nitriloethano]-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8 [7H,9H]-trione, 4-ethyl-11-[[3-[3-(3-fluorophenoxy]phenyl]-2-propynyl]oxy]-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-10-[[3,4,6-tridecyy-3-(dimethylamino]-ph-mylo-hexpyranoxyl]oxy]-, (3a5,4R,7R,9R,10R,11R,13R,15R,15aR)- [9CI] (CA INDEX NAME)

Absolute stereochemistry.

RN 582305-74-6 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino(4,3-d] oxazole-2,6,8 (7H,9H)trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15hexamethyl-11-[[3-[5-(2-pyridinylethynyl)-2-thienyl]-2-propynyl]oxy]-10[[3,4,6-trideoxy-3-(dimethylamino)-9-D-xylo-hexopyranoxyl]oxy]-,
(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 582305-78-0 CAPLUS
2-1hiophenearboxamide, 5-[3-[[(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)-4-ethyl-3a,4,6,7,8,9,10,11,12,13,15,15a-dodecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranoxyl)ayl-14,1-[nitriloethano]-2-H-oxacylotetradecino[4,3-d]oxazol-11-yl]oxy]-1-propynyl]-N-[3-(3-quinolinyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

RN 582305-79-1 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-

L14 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN [Continued] hexamethyl-11-[[3-{5-[[methyl (phenylmethyl) amino]methyl]-2-thienyl]-2-propynyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-P-D-xylo-hexopyanosyl]oxy]-, (3as,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

582305-80-4 CAPLUS
Urea, N-[5-[3-[[(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)-4-ethyl-3a,4,6,7,8,9,10,11,12,13,15,15a-dodecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-10-{[3,4,6-tridexy-3-d(dimethylamino]-9-b-xylo-hexopyranosyl]oxy]-14,1-[nitriloethano]-ZH-oxacyclotetradecino[4,3-d]oxacol-11-yl]oxy]-1-propynyl]-2-thienyl]-N'-4-pyridinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

639826-95-2 CAPLUS

L14 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

639826-98-5 CAPLUS
14,1-(Mitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8 (7H,9H)-trione, 10-[[2-0-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-bexopyranoxyl]oxy]-11-[[3-(5-bromo-2-thienyl)-2-propynyl]oxy]-4-ethyl-3a,4,10,11,12,13,15-bramethyl-(3as,4,8,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

639826-99-6 CAPLUS
2-Thiophenecarboxamide, 5-[3-[[(3aS,4R,7R,9R,10R,11R,13R,15R,15aR]-4-ethyl-3a,4,6,7,8,9,10,11,13,15-hexamethyl-2,6,8-trioxo-10-[(3,4,6-tridexy-3-(dimethylamino)-P-D-xylo-hexopyranosyl]oxy]-14,1-[nitriloethano)-ZH-oxacyclotetradecino(4,3-d)oxazol-11-yl]oxy]-1-propynyl]-N-1,2,3-thiadiazol-4-yl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN 2-Thiophenecarboxaldehyde, 5-[3-[[(3as, 4h, 7a, 9k, 10a, 11a, 13k, 15k, 15ak) -4ethyl-3a, 4, 6, 7, 8, 9, 10, 11, 12, 13, 15, 15a-dodecahydro-3a, 7, 9, 11, 13, 15hexamethyl-2, 6, 8-trioxo-10-[(3, 4, 6-trideoxy-3-(dimethylamino)-p-Dxylo-hexopyranosylloxy)-14, 1-(nitriloethano)-2H-oxacyclotetradecino[4, 3d]oxacol-11-yloy(y)-1-propynyl]-, 2-[0-(3-(1-naphthalenyl)-2propenyl]oxime], [C(E)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or 2.

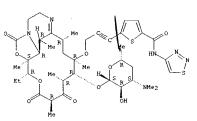
PAGE 1-A

PAGE 1-B

 $\begin{array}{lll} 639826-96-3 & \text{CAPLUS} \\ 2-\text{Thiophenecarboxaldehyde, } 5-[3-[[(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)-4-ethyl-3a,4,6,7,8,9,10,11,12,13,15,15a-dodecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-l0-[[3,4,6-trideoxy-3-(dinethylamino)-P-D-xylo-hexopyranosyl]oxy]-14,1-(nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazol-11-yl]oxy]-1-propynyl]-, 2-[2-pyridinylhydrazone), [C(E)]- (9CI) (CA INDEX NAME) \\ \end{array}$

Absolute stereochemistry.
Double bond geometry as shown.

L14 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



639827-00-2 CAPLUS
Urea, N-[3-[3-[(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)-4-ethyl3a,4,6,7,8,9,10,11,12,13,15,15a-dodecahydro-3a,7,9,11,13,15-hexamethyl2,6,8-tricxo-10-[(3,4,6-tridecxy-3-(dimethylamino)-P-D-xylohexcopyrancylloxyl-14,1-(ditridecthan)-2R-cvacyclotetradecino(4,3-d]oxazol-11-yl]oxy]-1-propynyl]phenyl}-N'-4-pyridinyl- (9CI) (CA INDEX

Absolute stereochemistry.

581804-84-4P 639826-92-9P
RL: IMF (Industrial manufacture); RCT (Reactant); SFN (Synthetic preparation); PREP (Preparation); PRCT (Reactant or reagent) (preparation of retythromycin macrolide analogs having antibacterial activity)
581804-84-4 CAPLUS
14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 10-[[2-0-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranoxyl]oxyl-4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,3,15-hexamethyl-11-[2-propynyloxyl-,(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)-(9CI) (CA INDEX NAME)

L14 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) Absolute stereochemistry.

639826-92-9 CAPLUS 639826-92-9 CAPUS
2-Thiophenecarboxaldehyde, 5-{3-{{ (3aS, 4R, 7R, 9R, 10R, 11R, 13R, 15R, 15aR) - 4-ethyl-3a, 4, 6, 7, 8, 9, 10, 11, 12, 13, 15, 15a-dodecahydro-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8-trioxo-10-{{ (3, 4, 6-trideoxy-3-{dimethylamino} -β-D-xylo-hexopyranoxyl)oyl-14, 1-{nitrideothano} -2H-oxacyclotetradecino[4, 3-d]oxazol-11-yl]oxy]-1-propynyl]- (9CI) {CA INDEX NAME}

Absolute stereochemistry.

639826-94-1P
RL: RCT (Reactant); SFN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of erythromycin macrolide analogs having antibacterial activity)
639826-94-1 CAPLUS
14.1-(Mitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazcle-2,6,8 (7H,9H)-

L14 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2004 ACS ON STN

ACCESSION NUMBER:
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LINVENTOR(S):
PATENT ASSIGNEE(S):
SOURCE:
DOCUMENT TYPE:
LANGUAGE:
PATENT TYPE:
PATENT TYPE:
LANGUAGE:
PATENT TYPE:
PATENT INFORMATION:
PATENT INFORMATION:

COUNTY TYPE:
PATENT INFORMATION:

English

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ACOUST CAPLUS
139:180299
Macrolides with activity against methicillin-resistant Stephylococcus aureus
Ma, Zhenkun, Keepes, Robert; Djuric, Stevan; Yong, Hong
About Laboratories, USA
PCT Int. Appl., 38 pp.
COBEN: PIXXD2
PATENT INFORMATION:
English

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PATENT INFORMATION:

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND W...

WO 2003068791 A2 20030821 WO 2003-US4130 ...

WC 2003068791 A3 20040122

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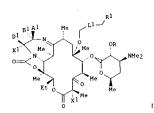
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IT, LU, HC, NIT, PT, SE, SI, SK, TR

US 2003162729 A1 20030828 US 2002-75012 20020213

PRIORITY APPLN. INFO: US 2003-361471 A 20030210

ATHER SOURCE(S): MARPAT 139:180299 APPLICATION NO. DATE



Compds. having activity against methicillin-resistant Staphylococcus aureus (MRSA), macrolides having formula I, wherein two of Al, Bl. Dl, and Dl are H, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, heteroaryl, SM, Gl, SH, C(OlH, aryl, ester, amider Al and Dl, Al and El, or Bl and Dl together are one- to five-membered alkylene or two-to five-membered alkylene or two-to seven-membered alkylene, and the remainder are hydrogen; or Al and Bl together are one- to seven-membered alkylene or two-to seven-membered heteroalkylene, and Dl and El are hydrogen; or Dl and El together are one-to seven-membered alkylene or two- to seven-membered heteroalkylene, and Al and Bl are hydrogen; Ll is alkynyl, alkenyl; Xl is H, F, R is H, OH protecting group; Rl is aryl, heteroaryl, heterocycle; and salts, prodrugs, and salts of prodrugs thereof, processes for making the compds. and intermediates used in the processes, compns. containing the compds., and

L14 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-(2-propynyloxy)-10-{(3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

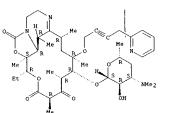
Absolute stereochemistry.

ANSWER 3 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) methods for prophylaxis and treatment of MRSA infections using the compds. are disclosed. (3AS,4R,7R,9R,10R,11S,13R,15R,15AR)-4-ethyl-3,3,7,9,11,3,15-hesmethyl-1T-(4(-4(-4(-2methyl-2T-tetrazol-5-y1)phenyl)but-2-ynyl)oxy)-2,6,8-tri-oxododecahydro-14,1-(epiazenoethano)oxacyclotetradec ino(4,3-d)[1,3]oxacycl-10-y1-3,4,5-trideoxy-3-(dimethyl-almino)-B-3-xylo-hexopyranoside was prepd. and. All of the compds. tested displayed in vitro activity against MRSA superior to their resp. controls. In a preferred range, the compds. demonstrated MIC's in a range of about 2 µg/mL to about 64 µg/mL and in a more preferred range, the compds. demonstrated MIC's in a range of about 2 µg/mL to about 8 µg/mL. \$51804-73-2P \$1804-78-1P \$1804-73-1P \$1804

(Uses)
(preparation of macrolide glycosides with activity against methicillin-resistant Staphylococcus aureus)
S81804-72-0 CAPLUS
14,1-(Mitriloethano)-2M-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione. 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[(4-(2-pyridinyl)-2-butynyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-B-D-wylo-hexopyranoxyl]oxy].
(3a5,4R,7R,9R,10R,11R,13R,15R,15R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

1)

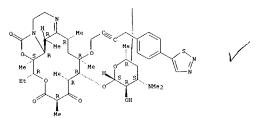


581804-73-1 CAPLUS
14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[[4-{4-(1,2,3-thiadiazol-5-yl)phenyl}-2-butynyl]oxy]-10-[(3,4,6-trideoxy-3-(dimethylamino)-8-D-xylo-hexopyranoxyl]oxy]-,(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

2)

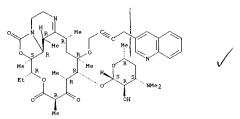
3)

L14 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 581804-74-2 CAPLUS
CN 14,1-(Nitriloethano) -2H-oxacyclotetradecino[4,3-d]oxazole-2,6.8 (7H,9H) trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15hexamethyl-11-[[4-(3-quinolinyl)-2-butynyl]oxy]-10-[[3,4,6-trideoxy-3(dimethylamino)-8D-Exylo-hexopyranosyl]oxy]-,
(3a5,4R,7R,9R,10R,11R,13R,15R,15aR)-[9CI] (CA INDEX NAME)

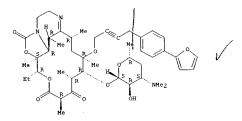
Absolute stereochemistry.



RN 581804-75-3 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8 (7H,9H)trione, 4-ethyl-3-a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15hexamethyl-11-[[4-[4-(2-thienyl)phenyl]-2-butynyl]oxy]-10-[[3,4,6-trideoxy3-(dimethylamino)-B-b-xylo-bexopyranoxyl]oxy](335,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

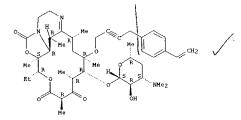
L14 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued



RN 581804-78-6 CAPLUS
CN 14,1-(NitriJoethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8 (7H,9H)trione, 11-[[4-(4-ethenylphenyl)-2-butynyl]oxy]-4-ethyl3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-10-[[3,4,6tridecyy-3-(dimethylamino)-p0-sylo-hexopyranosyl)oxy]-,
(3as,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

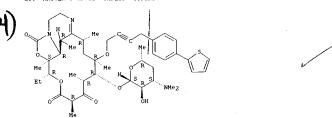
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RN 581804-79-7 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8 (7H,9H)trione, 4-ethyl-3-a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15hexamethyl-11-[{4-(4-(2-pyridinyl)phenyl]-2-butynyl]oxy]-10-[{3,4,6-tridecxy-3-(dimethylamino)-β-D-xylo-hexopyranoxyl]oxy]-,
(345,4R,7R,9R,10R,11R,13R,15R,15aR)-(9C1) (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



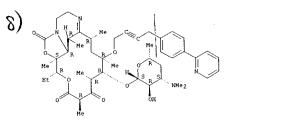
RN 581804-76-4 CAPLUS
CN 14,1-(Nitriloethano) -2H-oxacyclotetradecino[4,3-d)oxazole-2,6,8(7H,9H)trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15hexamethyl-11-[[4-[4-(2-thiazolyl)phenyl]-2-butynyl]oxy]-[10-[[3,4,6trideoxy-3-(dimethylamino]-8D-xylo-hexopyranoxyl]oxy]-,
(3a3,4R,7R,9R,10R,11R,13R,15R,15aR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 581804-77-5 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-11-[[4-[4-(2-furanyl)]phenyl]-2-butynyl]oxy]-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-10-[[3,4,6-trideoxy-3-(dimethylamino)-B-b-xylo-thexopyranoxyl]oxy]-(3aS,4R,7R,9R,10R,11R,13R,15R)-(9CI) (CA INDEX NAME)

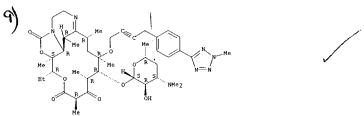
Absolute stereochemistry.

L14 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 581804-80-0 CAPLUS
CN 14,1-[Nitrioethano] -2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8 (7H,9H) - trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[[4-{4-(2-methyl-2H-tetrazol-5-yl)phenyl]-2-butynyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino]-β-D-nylo-hexopyranoxyl]oxy]-, (3a5,4K,7R,9R,10K,11K,13R,15K,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 581804-87-7 CAPLUS
CN 14,1-[Nitriloethano] - 2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8 (7H,9H)trione, 10-[[2-0-benzoyl-3,4,6-trideoxy-3-(dimethylamino]-β-D-xylohexopyranosyl]oxy]-4-ethyl-3a,4,10,11,12,13,15,15a-octahydro3a,7,9,11,13,15-hexamethyl-11-[[4-(2-pyrtidinyl)-2-butynyl]oxy]-,
(3a5,4R,7R,9R,10R,1HR,13R,15R,15aR)- (9CI) (CA INDEX NAME)

L14 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 581804-88-8 CAPLUS
CN 14,1-(Nitriloethano) - ZH-oxacyclotetradecino[4,3-d]oxazole-2,6,8 (7H,9H)trione, 10-[[2-0-benzoyl-3,4,6-tridecxy-3-(dimethylamino)-β-D-xylohexopyranosyl]oxy]-4-ethyl-3a,4,10,11,12,13,15,15a-octahydro3a,7,9,11,13,15-hexamethyl-11-[[4-[4-[1,2,3-thiadiazol-5-yl)phenyl]-2butynyl]oxyj-, (3as,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 581804-89-9 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)trione, 10-[[2-0-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylohexopyranoxyl]oxy]-4-ethyl-3a,4,10,11,12,13,15,15a-octahydro3a,7,9,11,13,15-bexamethyl-11-[[4-(3-quinolinyl)-2-butynyl]oxy]-,
(3a5,4R,7R,9R,10R,11R,13R,15R,15aR)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued

RN 581804-92-4 CAPLUS
CN 14,1-(Nitriloethano) -2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)trione, 10-([2-0-benzcyl-3,4,6-trideoxy-3-(dimethylamino)-B-D-xylohexcpyrancsyl]oxy]-4-ethyl-11-[[4-[4-(2-furanyl)phenyl]-2-butynyl]oxy]33,4,10,11,12,13,15,15-ac-tahydro-3a,7,9,11,13,15-hexamethyl-,
(3a5,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 581804-93-5 CAPLUS
CN 14,1-(Nitrilosthano)-ZH-oxacyclotetradecino[4,3-d]oxazole-2,6,8 (7H,9H)trione, 10-[[2-0-benzoy1-3,4,6-trideoxy-3-(dimethylamino)-B-D-xylohexopyranosyl]oxy]-11-[[4-(4-ethenylphenyl)-2-butynyl]oxy]-4-ethyl3a,4,10,1,1,2,3,15,15-a-otahydro-3a,7,9,11,3,15-beawaethyl-,
(3as,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

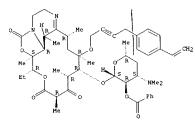
RN 581804-90-2 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 10-[(2-0-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-wylo-hexopyranosyl]oxy]-4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-bexamethyl-11-[[4-[4-(2-thienyl)]penyl]-2-butynyl]oxy]-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 581804-91-3 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8 (7H,9H)trione, 10-[[2-O-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylohexopyranoxyl]oxy]-4-ethyl-3a,4,10,11,12,13,15,15a-octahydro3a,7,9,11,13,15-hexamethyl-11-[[4-(2-thizozly)]phenyl]-2-butynyl]oxy]-,
(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

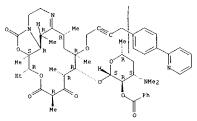
Absolute stereochemistry. .

L14 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 581804-94-6 CAPLUS
CN 14,1-(Nitrioethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8 (7H,9H)trione,10-[12-0-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylohexopyranosyl]oxyl-4-ethyl-3a,4,10,11,12,13,15,15a-octahydro3a,7,9,11,13,15-hexamethyl-11-[[4-[4-(2-pyridinyl)phenyl]-2-butynyl]oxy]-,
(3a5,4H,7R,9R,1DR,11R,1SR,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 581804-95-7 CAPLUS
CN 14,1-(Nitriloethano) -2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H) trione, 10-{[2-0-benzcyl-3,4,6-trideoxy-3--(dimethylamino)-β-D-xylohexpyranosyl]oxy]-4-ethyl-3a,4,10,11,12,13,15,15a-octahydro3a,7,9,11,13,15-hexamethyl-11-[[4-[4-[2-methyl-2-H-ettrazol-5--yl)phenyl]-2butynyl]oxy]-, (3as,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

L14 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Sel804-84-4P 581804-85-5P 581804-86-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of macrolide glycosides with activity against methicillin-resistant Staphylococcus aureus)
581804-84-4 CAPLUS
14.1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 10-[(2-0-benzyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxyl-4-ethyl-3a,4,10,11,12,13,15,15a-cotahydro-3a,7,9,11,13,15-hexamethyl-11-(2-propynyloxy)-,
(3aS,4R,7R,9R,10R,11R,13R,15R,15R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

581804-85-5 CAPLUS
14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 10-[{2-0-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-

L14 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN

L14 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) hexpoyranosyl]oxy]-4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[[3-(tributylstannyl)-2-propynyl]oxy]-, (3a5,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

581804-86-6 CAPLUS
14,1-(Mitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8 (7H,9H)trione, 10-{[2-0-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylohexcpyranosyl]oxyl-11-[[4-(4-bromophenyl)-2-butynyl]oxyl-4-ethyl3a,4,10,11,12,13,15-ba-oxtahydro-3a,7,9,11,13,15-ba-maethyl-,
(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 4 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN SION NUMBER: 2003:656779 CAPLUS
HENT NUMBER: 139:197706

MENT NUMBER:

Preparation of macrolide erythromycin analogs having TITLE: Preparation of macrolide erythromycin analogs navia antibacterial activity Ha, Zhenkun; Clark, Richard; Djuric, Stevan; Wang, Sanyi Abbott Laboratories, USA PCT Int. Appl., 57 pp. CODEN: PIXXD2 Patent

INVENTOR (S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

English 1

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

APPLICATION NO. DATE PATENT NO. KIND DATE PATENT NO. KIND DATE APPLICATION NO. UATE

W0 2003068790 A3 20031024

W: CA, CM, JP, MX

W: K, E, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IT, LU, MC, NL, FT, SE, SI, SK, TR

US 2003171308 A1 20030911 US 2002-75011 20020213

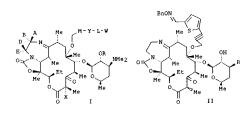
RITY APPLN. INFO.: US 2002-75011 A 20020213

US 2003-361651 A 20030210

R SOURCE(S): MARPAT 139:197706

PRIORITY APPLN. INFO.:

OTHER SOURCE(S):



The present invention discloses preparation of erythromycin macrolide

AB The present invention discloses preparation of explanations, such as I (A, B, D, E = H, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, heterocycle, CN, OH, SH, COZH, ester, amide, etc.: AD, AE, BD = one- to five-membered alkylene, two- to five-membered heteroalkylene; AB, DE = one- to seven-membered alkylene, two- to seven-membered heteroalkylene, the alkylene, alkynylene, amine, imine, etc.: M = (E)-CH=CH, (Z)-CH=CH, C.tplbond.C; R = H, protecting group: W = H, aryl, heteroaryl, heterocycle: X = H, F: Y = arylene, heteroarylenel, and salts, prodrugs, and salts of prodrugs thereof, for treating bacterial infections. Thus, erythromycin macrolide analog II (R = NMe2) was prepared and tested for its antibacterial activity against Staphylococcus aureus,

L14 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) Streptococcus pyogenes and Streptococcus pneumoniae. Streptococcus programs are secured by secure 2005-57-57 secure 2005-58-69 secure 2005-58-69 secure 2005-68-99 secure 2005-68-99 secure 2005-68-99 secure 2005-68-99 secure 2005-69-99 secure 2005-78-99 s

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)

(preparation of erythromycin macrolide analogs having antibacterial activity)
582305-57-5 CAPLUS
582305-57-5 CAPLUS
4,1-{Nitriloethano}-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,19,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[[3-[5-[(phenylamino)methyl]-2-brohypryn]oxy]-10-[(3,4,6-trideoxy-3-(dimethylamino)-8-D-xylo-hexopyranoxyl]oxy]-,
(3aS,4R,7R,9R,10R,11R,13R,15R,15R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

582305-58-6 CAPLUS
2-Thicphenecarboxaldehyde, 5-[3-[{[3aS,4R,7R,9R,10R,11R,13R,15R,15aR]-4-ethyl-3a,4,6,7,8,9,10,11,12,13,15,15a-dodecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-P-D-xyl-ohexopyranosyl]oxy]-14,1-(nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazoi-11-yl]oxy]-1-propynyl)-, 2-[0-(phenylmethyl)oxime], [C(E)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

L14 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN Double bond geometry as shown.

$$\label{eq:continuous} \begin{split} &582305-61-1 \quad \text{CAPLUS} \\ &2-\text{Thiophene carboxal dehyde, } 5-[3-[\{(3as,4R,7R,9R,10R,11R,13R,15R,15R]-4-\text{ethyl}-3a,4,6,7,8,9,10,11,12,13,15,15a-\text{dodecahydro-}3a,7,9,11,13,15-\text{hexamethyl}-2,6,8-\text{trioxo-}10-[\{3,4,6-\text{trideoxy-}3-(\text{dimethylamino})-\beta-D-\text{xylo-hexcpyranosyl}]\text{ oxy}]-14,1-\{\text{nitriloethano}]-2H-\text{oxacyclotetradecino}\{4,3-d]\text{oxacyl-11-ylloxyl}-1-propynyl]-, 2-[0-(1-\text{naphthalenylmethyl})\text{ oxime}\}, \\ &\{C(E)\}- \quad \text{(9CI)} \quad \text{(CA INDEX NAME)} \end{split}.$$

Absolute stereochemistry.
Double bond geometry as shown

 $\begin{array}{ll} 582305-62-2 & CAFLUS\\ 2-Thiophene (arboxaldehyde, 5-[3-[\{(3as,4R,7R,9R,10R,11R,13R,15R,15aR)-4-ethyl-3a,4,6,7,8,9,10,11,12,13,15,15a-dodecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-\beta-D-xylo-hexopyranosyl] oxy]-14,1-[nitriloethano]-2H-oxacyclotetradecino[4,3-d]oxacyl-11-ylloxyl-1-proppynyl]-,2-[0-[420,3-3-(1-naphthalenyl)-2-propenyl]oxime], [C(E)]- (9CI) & (CA INDEX NAME) \end{array}$

Absolute stereochemistry.

Page 11

L14 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

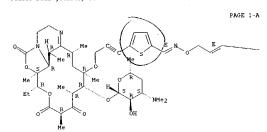
582305-59-7 CAPLUS
2-Thiophenecarboxaldehyde, 5-[3-[{(3as,4R,7R,9R,10R,11R,13R,15R,15R]-4-ethyl-3a,4,6,7,8,9,10,11,12,13,15,15a-dodecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexcpyranosyl]oxy]-14,1-(nitriloethano)-ZH-oxacyclotetradecino(4,3-djoxazol-11-yl]oxy]-1-propynyl]-, 2-(O-methyloxime), [C(E)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

582305-60-0 CAPLUS 582305-60-0 CAPUUS
2-Thi ophenecarboxaldehyde, 5-{3-[[(3a5,4R,7R,9R,10R,11R,13R,15R,15aR)-4-ethyl-3a,4,6,7,8,9,10,11,12,13,15,15a-dodecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-10-[[(3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranoxyl]oxy]-41,1-(nitriloethano)-2H-oxacycylotetradecino(4,3-d)cxazol-11-yl]oxy]-1-propynyl]-, 2-(0-phenyloxime), [C(E)]- (9CI) (CATUPRY MAME)

Absolute stereochemistry.

L14 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN Double bond geometry as shown. (Continued)



PAGE 1-B

5e2305-63-3 CAPLUS 2-Thiophenecarboxaldehyde, 5-[3-[[(3aS,4R,7R,9R,10R,11R,13R,15R,15R]-4-ethyl-3a,4,6,7,8,9,10,11,12,13,15,15a-dodecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8-tricyxo-10-[[3,4,6-trideoxy-3-(dimethylamino)- β -D-xylo-hexopyranosyl]oxyj-14,1-{nitriloethano}-2H-oxacyclotetradecino[4,3-d]oxaco-[1-1-yl]oxyj-1-propynyl]-, 2-[0-(2-phenoxyethyl)oxime], [C(E)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L14 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 582305-64-4 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino{4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-33,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[[3-[5-[[(phenylmethyl) amino]methyl]-2-thienyl]-2-propynyl]oxyl-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxyl-, (3aS,4R,7R,9R,10R,11R,13R,15R,15R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 582305-65-5 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[(3-[5-[(1E)-2-pyrtidinylazo]methyl]-2-thienyl]-2-propynyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranoxyl]oxy]-, (3as,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L14 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) Double bond geometry as shown.

RN 582305-68-8 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydre-3a,7,9,11,13,15-hexamethyl-11-[[3-[5-[[(2-phenylethyl)amino]methyl]-2-thienyl]-2-propynyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (3aS,4R,7R,9R,10R,11R,13R,15R,15AR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 582305-69-9 CAPLUS
CN 14,1-(Nitriloethano) -2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8 (7H,9H) trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15hexamethyl-11-[[3-[5-[[(3-phenylpropyl)amino]methyl]-2-thienyl]-2prophyl]oxyl-10-[[3,4,6-trideoxy-3-(dimethylamino)+p-0-xyl)hexopyranosyl]oxyl-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX
NAME)

Absolute stereochemistry.

L14 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 582305-66-6 CAPLUS
CN 2-Pyridinecarboxylic acid, (2E)-[[5-[3-[[(3aS,4R,7R,9R,10R,11R,13R,15R,15a],1-4E+bhyl-3a,4,6,7,8,9,10,11,12,13,15,15a-dodecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranoxylloxy]-14,1-(nitrilorthano)-ZH-Oxacycolottradecino[4,3-d]oxacol-11-ylloxy]-1-propynyl]-2-thienyl]methylene]hydrazide (9CI) (CA INDEX NAME)

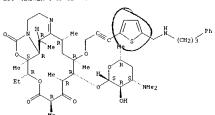
Absolute stereochemistry.

Double bond geometry as shown.

RN 582305-67-7 CAPLUS
CN 2-Thiophenecarboxaldehyde, 5-[3-[[(3a5,4R,7R,9R,10R,11R,13R,15R,15aR)-4-ethyl-3a,4,6,7,8,9,10,11,12,13,15,15a-dodecahydro-3a,7,9,11,13,15-hexamethyl-2,6,6-trioxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-P-D-xylo-hexopyranosyl]oxy]-14,1-(nitriloethano)-ZH-oxacyclotetradecino[4,3-d]oxacycl-11-ylloxy]-1-propynyl]-, 2-[0-(3-quinolinylmethyl)oxime], [C(E)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 582305-70-2 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8 (7H,9H)trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15hexamethyl-11-[[3-[3-(2-pyridinyloxy)phenyl]-2-propynyl]oxy]-10-[[3,4,6trideoxy-3-(dimethylamino)-8-D-xylo-hexopyranoxyl]oxyl-,
(3a3,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 582305-71-3 CAPLUS
CN 2-Thiophenecarboxamide, 5-[3-[[(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)-4-ethyl-3a,4,6,7,8,9,10,1,12,13,15-hexamethyl-2,6,8-tricoxo-10-[[3,4,6-trideoxy-3-dimethylamino]-F-D-sylo-hexopyranosyl]oxy]-14,1-[(nitridecthano]-2H-oxacyclotetradecino[4,3-d]oxazol-11-yl]oxy]-1-propynyl]-N-(3-fluorophenyl)- (9CI) (CA INDEX NAME)

L14 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued

RN 582305-72-4 CAPLUS
CN 2-Thiophenecarboxamide, 5-[3-[[(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)-4-ethyl-3a,4,6,7,8,9,10,11,12,13,15,15a-dodecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-zylo-hexopyranosyl]oxy]-14,1-(nitriloethano)-ZH-oxacyclotetradecino[4,3-d]oxacol-11-yl]oxy]-1-propynyl]-N-(3-fluorophenyl)-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 582305-73-5 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8 (7H,9H)-trione, 4-ethyl-11-[[3-(3-(3-fluorophenoxy)phenyl]-2-propynyl]oxy]-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-10-[[3,4,6-trideoxy-3-(dimethylamino)-B-n-xylo-hexopyranoxyl]oxy]-, (3a5,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 582305-76-8 CAPLUS
CN 2-Thiophenecarboxamide, 5-[3-[[{3aS,4R,7R,9R,10R,11R,13R,15R,15aR}-4-ethyl-3a,4,6,7,8,9,10,1,12,13,15-hexamethyl-2,6,8-tricxo-10-[{3,4,6-tridecxy-3--(dimethylamino)-P-D-xylo-hexopyranoxyl]oxy]-4,1-(nitrileethano)-2H-oxavyclotetradecino[4,3-d]oxazol-11-yl]oxy]-1-propynyl]-N-3-pyridinyl- (9CI) (CA INDEX NAME)

RN 582305-77-9 CAPLUS
CN 2-Thiophenecarboxamide, 5-[3-[[(3a5,4R,7R,9R,10R,11R,13R,15R,15R,15aR)-4-ethyl-3a,4,6,7,8,9,10,11,12,13,15,15a-dodecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-10-[(3,4,6-trideoxy-3-(dimethylamino)-6-D-xylo-hexopyranosyl]oxy]-14,1-(nitriloethano)-2H-oxaryclotetradecino(4,3-d]cxazol-11-ylloxy]-1-propynyl]-N-[4-(1,2,3-thiadiazol-4-yl)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER, 4 OF 12 CAPLUS' COPYRIGHT 2004 ACS on STN (Continued)

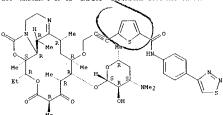
RN 582305-74-6 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)trione, 4-ethyl-3-a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15hexamethyl-11-[(3-[5-(2-pyridinylethynyl)-2-thienyl]-2-propynyl]oxy]-10[[3,4,6-trideoxy-3-(dinethylamino]-B-p-xylo-bexopyranosyl]oxy]-,
(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 582305-75-7 CAPLUS
CN 14, 1- (Nitriloethano) - 2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8 (7H,9H) trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15hexamethyl-11-[[3-(4-phenoxyphenyl)-2-propynyl]oxy]-10-[{3,4,6-trideoxy-3(dimethylamino)-9-D-xylo-hexopyranosyl]oxy]-,
(3as,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

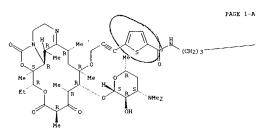
Absolute stereochemistry.

L14 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 582305-78-0 CAPLUS
CN 2-Thiophenecarboxamide, 5-[3-[[(3a5,4R,7R,9R,10R,11R,13R,15R,15aR)-4-ethyl-3a,4,6,7,8,9,10,11,12,13,15-hexamethyl-2,6,8-trioxo-10-[{3,4,6-trideoxy-3-(dimethylamino)-P-D-xylo-hexopyranosyl]oxy]-14,1-(mitriloethano)-ZH-oxacyclotetradecino(4,3-djoxacol-11-yl]oxy]-1-propynyl]-N-[3-(3-quinolinyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



PAGE 1-B

RN 582305-79-1 CAPLUS CN 14,1-(Nitrilethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-

L14 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) hexamethyl-11-[[3-[8-[[nethyl(phenylmethyl)amino]nethyl]-2-thienyl]-2-propynyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylanino]-Pb-wylo-hexopyranosyl]oxy]-, (3a5,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX

Absolute stereochemistry.

582305-80-4 CAPLUS
Urea, M-[5-[3-[[(3aS,4R,7R,9R,10R,11R,13R,15R,15aR]-4-ethyl3a,4,6,7,8,9,10,11,12,13,15,15a-dodecahydro-3a,7,9,11,13,15-hexamethyl2,6,8-trioxo-10-[(3,4,6-trideoxy-3-(dimethylamino)-P-D-xylohexopyranosyl]oxy]-14,1-[nitriloethano]-2H-oxacyclotetradecino[4,3d]oxacol-11-yl]oxy]-1-propynyl]-2-thienyl]-N'-4-pyridinyl- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

581804-84-4P 582305-86-0P

L14 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN

ANSWER 4 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (Reactant or reagent); RACT (Reactant) (Re

Absolute stereochemistry.

582305-86-0 CAPLUS
14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8 (7H,9H)trione, 11-[[3-(5-bcromo-2-thienyl)-2-propynyl]oxy]-4-ethyl3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-10-[[3,4,6trideoxy-3-(dimethylamino]-β-D-xylo-hexopyranosyl]oxy](3aS,4B,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 5 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
SSION NUMBER: 2002:575746 CAPLUS
137:125356
Preparation of 6-0-alkyl-2-nor-2-substituted
erythromycin ketolide derivatives as antibacterial
agents
NTOR(S): Phan, Ly Tam; Or, Yat Sun; Ma, Zhenkun ACCESSION NUMBER:

INVENTOR (S):

USA
U.S. Pat. Appl. Publ., 43 pp.
CODEN: USXXCO
Patent PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

English 1

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002103140	A1	20020801	US 2000-727934	20001130
US 6569836	B2	20030527		
RIORITY APPLN. INFO.:		US	1999-168504P P	19991202
THER SOURCE(S):	MAI	RPAT 137:125356		

AB Erythromycin ketolide derivs., such as I and II {R = H, hydroxy protecting group; RI = alkyl, alkenyl, alkenyl-R2, alkynyl-R2, R2 = H, aryl, heteroaryl; R3 = H, OH, NH2, substituted amine, SePh, halogen; R4 = H, OH, F, Cl, Br, I, alkyl alkenyl, alkynyl, ether, ester, alkylamine; R3 and R4 taken together with the atoms to which each is attached forms a 3- to 6-membered gromatic or non-aromatic ring optionally containing a heteroatom, wherein the non-aromatic ring optionally containing a R4 taken together form a = CH2, epoxide, = O: Y = H, OH, NH2, amine; R5-R6 = H, alkyll, and pharmaceutically acceptable salts, ester, solvate or prodrug thereof, were prepared for their use as antibacterial agents. The invention relates to 6-O-alkyl-2-non-2-substituted ketolide compound or a derivative thereof, a composition comprising the compound and a suitable carrier, a

er, a method of preparing the compound, and a method of treatment and prevention

infections in mammals comprising administering said compound Thus, I $\{R, R3, R4, Y-Hr \ Rl = CH2CH:CH-(3-quinolyl) \ (III)\}$ was prepared via debenzoylation of I $\{R-benzoylr \ R3, R4, Y-Hr \ Rl = CH2CH:CH-(3-quinolyl)\}$. III was tested in vitro for its antibacterial activity (MIC = 0.01 to > 100).

ANSWER 5 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
444300-32-7 444300-34-9
RL: RCT (Reactant): RACT (Reactant or reagent)
(preparation of 6-0-alkyl-2-nor-2-substituted ketolide derivs. having antibacterial activity)
44.300-32-7 CAPLUS
14.1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 10-([2-0-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranoxyl]oxyl-4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-0-2-propenyl-, (3as,4R,9R,10R,11R,13R,15R,15-aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

444300-34-9 CAPLUS
14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)trione, 10-[12-0-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-p-D-xylohexcpyranoxyl]oxy]-4-ethyl-3a,4,10,11,12,13,15,15a-octahydro3a,7,9,11,13,15-hexanethyl-11-(2-propynyloxy)-,
(3a5,4K,9R,10R,11R,13R,15R,15R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

CAPLUS

CORPORATE SOURCE: SOURCE:

AUTHOR (S):

PLUS COPYRIGHT 2004 ACS on STN
2001:758464 CAPLUS
136:47983
Novel Erythromycin Derivatives with Aryl Groups
Tethered to the C-6 Position Are Potent Protein
Synthesis Inhibitors and Active against
Multidrug-Resistant Respiratory Pathogens
Ha, Zhenkun; Clark, Richard F.; Brazzale, Antony;
Wang, Sanyi; Rupp, Michael J.; Li, Leping;
Griesgraber, George; Zhang, Suoming; Yong, Hong; Phan,
Ly Tam; Nemoto, Peter A.; Chu, Daniel T. W.; Plattner,
Jacob J.; Zhang, Xiaolin; Zhong, Ping; Gao, Zhensheng;
Nilius, Angela M.; Shortridge, Virginia D.; Flamm,
Robert; Mitten, Michael; Meulbrock, Jon; Ewing, Patty;
Alder, Jeff; Or, Yat Sun
Infectious Disease Research, Abbott Laboratories,
Abbott Park, IL, 60064-5537, USA
Journal of Medicinal Chemistry (2001), 44(24),
4137-4156
CODEN: JMCMAR; ISSN: 0022-2623
American Chemical Society
Journal

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: Emglish

A nerican Chemical Society

Journal

LANGUAGE: Emglish

A novel series of erythromycin derivs, has been discovered with potent

activity against key respiratory pathogens, including those resistant to
erythromycin. These compds, are characterized by having an aryl group

tethered to the C-6 position of the erythronolide skeleton. Extensive
structural modification of the C-6 moiety led to the discovery of several
promising compds. with potent activity against both mer- and erm-mediated
resistant Streptococcus penumoniae. Preliminary mechanistic studies
indicated that the new macrolides are potent protein synthesis inhibitors,
which interact with methylated ribosomes isolated from resistant
organisms. In exptl. animal models, these compds. exhibited excellent in
vivo efficacy and balanced pharmacokinetic profiles.

IT 381222-05-SP
RI: PRT (Pharmacokinetics); RCT (Reactant); SPN (Synthetic preparation);

Risperson, and Malanceu pnarmacokinetic profiles.

Risperson, and Malanceu pnarmacokinetic profiles.

RL: PKT (Pharmacokinetics): RCT (Reactant): SPN (Synthetic preparation): RIU (Therapeutic use): RIOL (Riological study): PRRP (Preparation): RACT (Reactant or reagent): USES (Uses)

(novel erythromycin derivs. with aryl groups tethered to the C-6 position are potent protein synthesis inhibitors and active against multidrug-resistant respiratory pathogens)

381222-05-5 CAPLUS

14.1-(Nitriloethano)-2H-oxacyclotetradecino(4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-thyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-(2-propenylowy)-10-[(3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranoxyl)-vyl-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

L14 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN

38122-06-6P
RL: PKT (Pharmacokinetics); SFN (Synthetic preparation); THU (Therapeutic use); BloL (Biological study); PREP (Preparation); USES (Uses) (novel erythromycin derivs. with aryl groups tethered to the C-6 position are potent protein synthesis inhibitors and active against multidrug-resistant respiratory pathogens)
381222-06-6 CAPUS
14,1-(Nitriloethano)-ZH-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[(ZE)-3-(3-quinolinyl)-2-propenyl)oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-PD-xylo-hexopyranosylloxy]-,
(3aS,4R,7R,9R,10R,11R,13R,15R,15R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as sh

REFERENCE COUNT:

61 THERE ARE 61 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L14 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

L14 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN

ANSWER 7 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

The instant invention provides novel macrolide I wherein X' is selected from the group consisting of C1-C10 alkyl, C3-C10 alkenyl, and C3-C10 alkynyl Y' and Z' are independently selected from the group consisting of: (c) optionally substituted aryl, and (d) optionally substituted heteroaryl, with the proviso that both Y and Z' are not both Ph, and with the further proviso that Y' is not isoxazole when Z' is thiophenyl; R is a hydroxy protecting group; L is CH2, CO; T is O, NH, substituted mine; and compos. useful in treating bacterial infections. Thus, I [R = H, L = CO, T = NH, X'Y'Z' = CH2C.tplbond.C-(5-(2-pyridyl)-2-thienyl)] was prepared and tested in vitro for its antibacterial activity.

C3866-13-9P

RL: RAC (Biological activity or effector, except adverse), BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); .

BIOL (Biological study); PREF (Preparation); USES (Usea)

(preparation of substituted macrolides erythromycin analogs having antibacterial activity)

263866-13-9 CAPLUS

14,1-(Nitriloethano)-2H-oxacyclotetradecino{4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,2,13,15,15-cetahydro-3a,7,11,13,15-hexamethyl-11-[[3-[5-(2-pyridinyl)-2-thenyl)-2-propynylloxyl-10-[[3,4,6-trideoxy-3-(dimethylamino)-P-D-xylo-hexopyranoxyl]oxyl-,

(3a5,4R,7R,9R,10R,118,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

263868-58-29

263868-58-2P
RL: RCT (Reactant); SFN (Synthetic preparation); PREF (Preparation); RACT (Reactant or reagent) (preparation of substituted macrolides erythromycin analogs having antihacterial activity) (258696-58-2 CAPLUS 14.1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8 (7H,9H)-trione, 10-[12-0-acety-1-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranoxy] oxy]-4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-(2-propynyloxy)-, (3a5,4R,7R,9R,10R,11K,13R,15R,15R)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

LANSWER 7 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:666740 CAPLUS
133:222971
ITITLE: 133:222971
INVENTOR(S): 5 Cr. Yas Fun, Clark, Richard F.; Ma, Zhenkun; Rupp, Michael J.

PATENT ASSIGNEE(S): Abbott Laboratories, USA
POURCE: CODEN: PIXXD2
DOCUMENT TYPE: PATENT ACC. NUM. COUNT: 1

DOCUMENT COUNT. 1

CODEN: PIXXD2
PATENT ASSIGNEE(S): PIXXD2
PATENT AS

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PATENT NO.												DATE						
WO 2000055168			A1 20000921									20000308							
	W:	AE,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,		
		DE,	DK,	DZ,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,		
						KΡ,													
						NO,													
		TJ.	TM,	TR,	TT,	UA,	UG,	UZ,	VN,	YU,	ZA,	ZW,	AM,	AZ,	BY,	KG,	KZ,		
			RU.																
	RW:	GH,	GM,	KE,	LS,	MW,	SD,	SL,	SZ,	TZ,	UG,	Z₩,	AT,	BE,	CH,	CY,	DE,		
						GB,													
						GN,													
EP	1161	438		Á	1 .	2001	1212		Ē	P 20	00-9	1380	5	2000	0308				
		AT,														MC,	PT,		
		IE.	SI.	LT.	LV.	FI.	RO												
BR	2000	0087	31	À		2002	0924		В	R 20	00-8	731		2000	0308				
		5392																	
		0061																	
		65																	
NO	2001	0043	80	A		2001	0910		N	0 20	01-4	380		2001	0910				
		LN.												1999					

OTHER SOURCE(S):

MARPAT 133:222971

L14 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

NSWER 8 OF 12 CAPLUS COPYRIGHT 2004 ACS ON STN ION NUMBER: 2000:268525 CAPLUS NT NUMBER: 132:279474

DOCUMENT NUMBER: Preparation of 6-0-substituted macrolides having TITLE:

antibacterial activity Or, Yat Sun; Clark, Richard F.; Ma, Zhenkun; Rupp, Michael John INVENTOR(S):

Abbott Laboratories, USA U.S., 37 pp. CODEN: USXXAM PATENT ASSIGNEE(S):

SOURCE:

DOCUMENT TYPE: Patent English

LANGUAGE:

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

APPLICATION NO. PATENT NO. KIND DATE US 6054435
PRIORITY APPLN. INFO.:
OTHER SOURCE(S):
GI 19990319 19990319 A 20000425

Macrolide erythromycins I (R = H, hydroxy protecting group; X = alkyl, alkenyl, alkynyl; Y and Z = aryl, heteroaryl; L = CHZ, CO; T = O, NH, substituted amine) were prepared as antibacterial agents. Thus, I [R = H, L = CO, T = NH, XYZ = H:CHI-[5-(2-furanyl)-2-thienyl)] was prepared and tested for its in-vitro antibacterial activity. (MIC = 0.004-100).

263869-13-9

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); STN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
[preparation of 6-O-substituted macrolides having antibacterial activity) 263868-13-9 CAPUS
41,1-(Nitrioethano)-2H-oxacyclotetradecino(4,3-d] oxacole-2,6,8 (7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15-a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[[3-6,2-pyridinyl]-2-thienyl-2-propynylloxyl-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranoxyl]oxyl-).

ANSWER 9 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
1999:439310 CAPLUS
159997
TILE: 131:59097
Freparation of multicyclic erythromycins as antibacterial agents
OF, Yat Sun; Griesgraber, George; Chu, Daniel T.
Abbott Laboratories, USA
UNCE: USA, 36 pp.
COUMENT TYPE: ACCUMENT TYPE: English
MULTY ACC. NUM. COUNT: 1 INVENTOR(S): PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC, NUM. COUNT: PATENT INFORMATION:

APPLICATION NO. DATE 19990713 US 1998-87035 US 1997-50928P MARPAT 131:59097 US 5922683
PRIORITY APPLM. INFO.:
OTHER SOURCE(S):
GI A 19980529

Novel multicyclic erythromycin compds. I (A, B, D, E are independently substituted alkyl, ether, aminoslkyl, ester; Rl is H, OH, protected OH, OR; R is CN, F, alkyl, amide, aryl, heteroaryl, alkenyl; R2 is H, OH protecting group; R3 is absent, acyl, alkyl; Y, Z are both H or one is H and the other is OH, protected OH, cladinosyl; YZ together form oxo group) and pharmaceutically acceptable salts and esters were prepared as antibacterial agents. Thus, I (R1 = OHe, R2 = H, R3 is absent, the double bond is present, A, B, D, E = H, YZ = oxo) was prepared and showed antibacterial activity (MIC = 0.1 - 0.39 and in some cases MIC > 100).
217324-72-6P

Z17324-72-69
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); TBU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of multicyclic erythromycin derivs. as antibacterial agents) 217324-72-6 CAPLUS

2H-15.1,4-Ethanylylidene-3,6,1,16-benzodioxadiazacyclooctadecine-2,7,9(8H,10H)-trione, 5-ethyl-4,5,11,12,13,14-hexahydro-4,8,10,12,14,21-

ANSWER 8 OF 12 CAPLUS COPYRIGHT 2004 ACS On STN (Cont (3aS, 4R, 7R, 9R, 10R, 11R, 13R, 15R, 15aR) - (9CI) (CA INDEX NAME) (Continued)

Absolute stereochemistry.

263868-58-2P

26386-58-2P
RI: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 6-0-substituted macrolides having antibacterial activity)
26386-59-2 CAPLUS
14.1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)trione, 10-[12-0-acetyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylohexopyranoxyl)oxyl-4-ethyl-3a,4,10,11,12,13,15,15a-octahydro3a,7,9,11,315-hexamethyl-11-(2-propynyloxy)-,
(3aS,4R,7R,9R,10R,11R,13R,15R,15AR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT 22

L14 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
hexamethyl-12-(2-propenyloxy)-11-[[3,4,6-trideoxy-3-(dimethylamino)-βD-xylo-hexopyranosyl]oxy]-, (45,5R,8R,10R,11R,12R,14R,21R,22R)- (9C1)
INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 2-A

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Page 17

CUMENT NUMBER:

TITLE:

INVENTOR (S):

ANSWER 10 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
SSION NUMBER: 1999:90309 CAPLUS
100:125347
E: Preparation of erythromycin macrolides as
antibacterial agents
NTOR(S): Or, Yat Sun; Ma, Zhenkun; Clark, Richard F., Chu,
Daniel T., Plattner, Jacob J.; Griesgraber, George
NT ASSIGNEE(S): Abbott Laboratories, USA
CE: U.S., 85 pp., Cont.-in-part of U.S. Ser. No. 707,776,
abandoned.
CODEN: USXXAM
MENT TYPE: Patent PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: Patent English LANGUAGE:

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PAT	ENT N	0.		KIND	DATE			AP	PLIC	AT I	ON N	0.	DATE				
US	58665	49		A	1999	0202		US	199	97-8	8835	0	1997	0703			
ZA	97074	74		Α	1998	0323		ZA	19	97-7	474		1997	0820			
WO	98099	78		A1	1998	0312		WO	19	97-U	S155	06	1997	0902			
	W:	AU.	BG.	BR, B	, CA,	CN,	CZ,	HU,	IL,	JP,	KR,	MX,	NO,	NZ,	PL,	RO,	
		RU.	SG,	SI, SI	TR,	UA,	YU										
	DIJ.	T. A	UU	CHI DI	n DV	ES	RI.	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE
AU	97417	80		A1 B2 A1	1998	0326		AU	19	97-4	1780		1997	0902			
Ail	72934	8		B2	2001	0201											
EP	92956	3		A1	1999	0721		EP	19	97-9	3976	5	1997	0902			
																IE,	FI
BR	97116	61	,	A	1999	0824		BP	19	97-1	1661		1997	0902			
CN	12371	83		A	1999	1201		CN	19	97-1	9933	4	1997	0902			
SI	20023			C	2000	0229		SI	19	97-2	0062		1997	0902			
JP	20015	008	55	Т2	2001	0123		JP	19	98-5	1285	8	1997	0902			
N2	33427	4		CH, DI A C T2 A C2 A1	2001	0223		NZ	19	97-3	3427	4	1997	0902			
RU	21924	27		C2	2002	1110		RU	19	99-1	0677	8	1997	0902			
EP	12913	50		A1	2003	0312		EF	20	02-2	4557		1997	0902			
																ΙE,	F
EP	12913	151		A1	2003	0312		EF	20	02-2	4558		1997	0902			
	R:	AT.	BE.	CH, D	E. DK.	ES.	FR.	GB.	GR,	IT.	LI,	LU,	NL,	SE,	PT,	ΙE,	F
EP	12913	152	,	A1	2003	0312		EF	20	02-2	4559		1997	0902			
	R:	AT.	BE.	CH. D	E. DK.	ES.	FR.	GB.	GR.	IT,	LI,	LU,	NL,	SE,	PT,	IE,	F
EP	12913	153	,	A1	2003	0312		EF	20	02-2	4560		1997	0902			
																IE,	F
тω	45898	in.		В.	2001	1011		TW	r 19	97-8	6112	756	1997	0925			
IIS	60281	81		A	2000	0222		US	19	98-1	8639	15	1998	1104			
115	60751	133		CH, D. B A A A B1	2000	0613		U.S	19	98-1	8588	3	1998	1104			
us	61471	197		A	2000	11114		US	19	98-1	8590	3	1998	1104			
NO	99010	122		Α.	1999	0503		NO	19	99-1	022		1999	0302			
BG	63547	7		B3	2002	0430		ВС	19	99-1	0329	2	1999	0326			
ידוםר	Z APPI	N	TNFO					US 19	96-	7077	76	B2	1996	0904			
								US 19	97-	8883	150	А	1997	0703			
								EP 19	97-	9397	65	A3	1997	0902			
				.: ~				WO 19	97-	US15	506	W	1997	0902			
er s	OURCE	(S):		м	ARPAT	130:	1253	47									

ANSWER 10 OF 12 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued) 205110-60-7 CAPLUS 14,1-(Nitriloethano)-2H-oxacyclotetradecino(4,3-d)oxacole-2,6,8 (7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[(3-(3-quinolinyl)-2-propenyl)oxyl-10-[(3,4,6-trideoxy-3-(dimethylamino)-#D-Paylo-hexopyreanoxyl)oxyl-, (1S,3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown

OTHER SOURCE(S):

205113-73-1P 219827-28-8P
RL: RCT (Reactant): SFN (synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of erythromycin macrolides as antibacterial agents)
205113-73-1 CAPLUS
14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15-3-o-tahydro-3a,7,9,11,13,15,17,18-octamethyl-11-(2-propenyloxy)-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranoxyljoxy]-, (1S,3aS,4R,7R,9R,10R,11R,13R,15R,15aR,17R,18S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

(Continued) L14 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN

Erythromycins I [R = substituted Me, (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkenyl, (un)substituted alkynyl; Rl = H, hydroxy protecting group; L = CH2, CO: T = O, NH, substituted imine) were prepared as bactericides. Thus, I [R = CH2CH:CH-(6-hydroxy-2-naphthyl); Rl = H; L = CO: T = NH2] was prepared and tested for its antibacterial activity. CSISIO-52-7P 205110-60-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SFN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of erythromycin macrolides as antibacterial sgents) 205110-52-7 CAPLUS
14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8 (7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-(2-propenyloxy)-10-[13,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranoxyl]oxyl-, (1S,3a5,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN

219827-28-8 CAPLUS
14,1-(Nitriloethano)-2H-oxacyclotetradecino(4,3-d)oxazole-2,6,8(7H,9H)-trione, 10-([2-o-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-bexoyyranoxylloxyl-4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-bexamethyl-11-0-2-propenyl-, (1s,3as,4R,7R,9R,10R,11R,13R,15R,15aB)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 11 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
SSION NUMBER: 1998:795029 CAPLUS
130:52676
En: 1998:795029 CAPLUS
130:52676
Preparation of multicyclic erythromycins as bactericides
DATOR(S): Or, Yat Sun; Griesgraber, George W.; Chu, Daniel T.
Abbott Laboratories, USA
PCT Int. Appl., 82 pp.
CDEN: PIXXD2
MENT TYPE: Patent
LY ACC. NUM. COUNT: 1
TOT INFORMATION: INVENTOR(S):
PATENT ASSIGNEE(S):
SOURCE:

WO 9854197 A1 19981203 WO 1998-US10501 19980522
W: AL, MH, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, I
DK, EE, ES, F1, GB, GE, GH, GH, GH, GH, HU, ID, LI, IS, JP, KE, K
RF, KR, KZ, LC, LK, KR, LS, LT, LU, LV, MD, MG, MK, MM, MM, MM, M,
NO, NZ, PL, FT, NO, NI, SD, SE, SG, SI, SK, SL, TJ, TH, TR, T1
UA, UG, UZ, VM, VU, ZW, AM, AZ, BY, KG, KZ, MB, RU, TJ, TM
RW: GH, GH, KE, LS, HW, SD, SZ, UG, ZY, AT, BE, CH, CY, DE, DK, ES
FI, FR, GB, GR, LE, IT, LU, MC, NL, FT, SE, BF, BJ, CF, CG, CI,
CM, GA, GN, HL, MR, NS, SM, TD, TG
AU 9875512 A1 19981203 AU 1998-75912 19980522
EF 984975 A1 200000315 EF 1998-92678 19980522
EF 984975 R: AT, ECH, DE, DK, ES, FR, GB, GR, IT, LL, LU, NL, SE, PT, IE,
SI, FI, RO
BR 9809489 A 20000620 BR 1998-9489 19980522
NS 9905842 A 20010727 NZ 1998-500531 19980522
PF 1984975 T 20030930 PT 1998-920678 19980522
NO 9905842 A 20000126
NO 3000626 ST 20030930 PT 1998-9306780 19980522
NO 9905842 A 20000126
NO 3000626 ST 20030930 PT 1998-9306780 19980522
PF 1984975 T 20030930 PT 1998-9306780 19980522
NO 9905842 A 20000126
NO 3000626 NO 3000626

L14 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN

(Continued)

PAGE 2-A

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

AB Erythromycins I (A, B, D and E = independently H, heteroatom-containing alkyl,
alkenyl, alkynyl; Rl = H, OH, protected OH, alkoxy; arylmethyloxy; R2 = H,
protected OH, R3 = absent, O, H, OH, acyl alkyl; Y, Z = independently
halogen, H, OH, cladinose; YZ = O) were prepared as antibacterial agents.
Thus, I (A = B = D = E = RZ = H; Rl = allyloxy, R3 = absent; YZ = O) was
prepared as antibacterial agent (MIC = O.78).

IT 217324-72-69
R1: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation) USES (Uses)
(preparation of multicyclic erythromycins as bactericides)

RN 217324-72-6 CAPLUS
CN 2H-15,1,4-Ethanylylidene-3,6,1,16-benzedioxadiazacyclooctadecine2,7,9(8H,10H)-trione, S-ethyl-4,5,11,12,13,14-bexahydro-4,8,10,12,14,21hexamethyl-12-(2-propenyloxy)-11-[[3,4,6-trideoxy-3-(dimethylamino)-βB-xylo-hexopyranosyl]oxy]-, (45,5R,8R,10R,11R,12R,14R,21R,22R)- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

ANSWER 12 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
MESSION NUMBER: 1998:175937 CAPLUS
128:257662
Freparation of 6-0-substituted erythromycin ketolides as antibacterial agents
Or, Yat Sunr Ma, Zhenkunr Clark, Richard F.; Chu,
Daniel T.; Plattner, Jacob J.
Abbott Laboratories, USA
PURCE: COMMENT TYPE: PLATER; 247 pp.
CODEN: PIXXD2 TITLE:

INVENTOR (S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

Patent English

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PAT	ENT :	NO.		KI	ND	DATE						ATI:		ю.	DATE				
Wo	9809	978		A	1	1998	0312		W	0 1	99	7-U	S155	06	1997	0902			
	W:								HU,	11	,	JP,	KR,	MX,	NO,	NZ,	PL,	RO,	
		RU,	SG,	SI,	sĸ,	TR,	UA,	ΥU										P.M.	C.F.
	RW:	ΑT,	BE,	CH,	DE,	DK,	ES.	F1,	FR,	GE	3, 1	GR,	IE,	IT,	LU,	MC.	NL,	νι,	SE
US	5866	549		Α		1999	0202		U	5 1	99	7-8	8835	0	1997	0703			
AU	9741	780		A	1	1998	0326		A	U 1	99	7-4	1780	1	1997	0902			
AU	9741 7293	48		В	2	2001	0201												
EP	9295	63		A	1	1999	0721		E	P 3	199	7-9	3976	5	1997	0902			
	R:	AT.	BE.	CH.	DE.	DK.	ES,	FR.	GB,	GF	١, ١	IT,	LI,	LU,	NL,	SE,	PT,	IE,	FI
RR	9711	661		A		1999	0824		В	R 1	199	7-1	1661		1997	0902			
SI	2002	3		c		2000	0229		S	1 1	199	7-2	0062	:	1997	0902			
.10	2001	รกกลา	55	т	2	2001	0123		J	P 1	99	8 - 5	1285	8	1997	0902			
117	2001 3342	74	-		-	2001	0223		N	7 1	99	7-3	3427	14	1997	0902			
NZ DII	2192	427		2	2	2007	1110		D.	II 1	99	9-1	0677	8	1997	0902			
NO.	9901	022			2	1000	0503		N.	ŏ i	00	٠ <u>.</u>	027		1000	0302			
NO	6354	022		A		2002	0303		, I	. 1	100	9-1	022	12	1000	0326			
					T	2002	0430								1996				
PRIORIT	Y APP	LN.	INFO	.:															
															1997				
										997	7-U	515	506	W	1997	0902			
THER S	DURCE	(S):			MAF	PAT	128:	2576	52										
3I																			

Me Z Y Me	
OR OR Me Me O Me	
Et 0 R20	
O NMe2	1

Title erythromycin ketolides, e.g. I (R = Me substituted with CN, F, carboxylate, amide, aryl, heteroaryl; (un)substituted alkyl; Rl = H, OH; R2 = H, hydroxy protecting group; Y, Z = O, (un)substituted NOH; 2, Y = $\frac{1}{2}$

L14 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) independently H, OH, protected OH, amine), were prepd. as bactericides. Thus, I (R - allyl, R1 = OH, R2 - H, Y, Z = O) was prepd. and tested for its antibacterial activity. Antibacterial activity of selected compds.

its antibacterial activity. Antibacterial activity of selected compds.

was MIC = 0.1-128.

205110-52-72 205110-60-7P 205113-51-5P

205113-57-1P 205113-53-7P 205113-51-6P

205113-57-1P 205113-53-7P 205113-51-7P

205113-70-6P 205113-71-9P 205113-51-7P

205113-70-6P 205113-71-9P 205113-72-0P

205113-73-1P 205113-74-2P

RL: BAC (Riological activity or effector, except adverse); BSU (Biological study, unclassified); SFN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of 6-0-substituted erythromycin ketolide derivs. as antibacterial apents)

205110-52-7 CAPLUS

14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,6 (7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-(2-propenyloxy)-10-[13,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl)oxyl-, (1S,3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI)

(CA INDEX NAME)

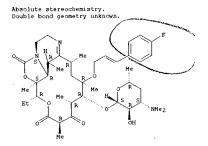
Absolute stereochemistry.

205110-60-7 CAPLUS
14,1-(Mitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[[3-(3-quinolinyl)-2-propenyl)oxy]-10-[(3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranoxyl)oxyl,-(15,3a5,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

L14 ANSWER 12 OF 12 CAPLUS COPYRIGHT-2004 ACS on STN

205113-53-7 CAPLUS
14,1-{Nitriloethano}-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)trione, 4-ethyl-11-[[3-(4-fluorophenyl)-2-propenyl]oxy]3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-10-[[3,4,6tridecxy-3-(dimethyl-amino)-β-D-xylo-hexopyranexyl]oxyl,
(15,3as,4R,7R,9R,10R,11R,13R,15R,15aR)-(9CI) (CA INDEX NAME)

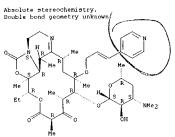


205113-54-8 CAPLUS
14,1-{Witriloethano}-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8 (7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-11-[[3-(4-methoxyphenyl)-2-propenyl]oxy]-3a,7,9,11,13,15-hexamethyl-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-,
[15,3as,4R,7R,9R,10R,11R,13R,15R,15R]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

L14 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

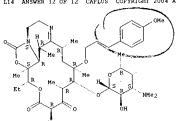
205113-51-5 CAPLUS
14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[[3-(4-pyridinyl)-2-propenyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl]oxy]-, (15,3as,4R,7R,9R,10R,11R,13R,15R,15R)-(9CI) (CA INDEX NAME)



205113-52-6 CAPLUS
14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)trione, 11-[3-(4-chlorophenyl)-2-propenyl]oxyl-4-ethyl3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-10-[[3,4,6trideoxy-3- (dimethylamino)-β-D-xylo-hexopyranoxyl]oxy]-, (15, 3a5, 4R, 7R, 9R, 10R, 11R, 13R, 15R, 15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

L14 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN



205113-57-1 CAPLUS
14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d] oxazole-2,6,8 (7H,9H)trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15hexamethyl-11-[[3-(4-quinolinyl)-2-propenyl]oxy]-10-[[3,4,6-trideoxy-3(dimethylamino)-B-D-xylo-hexopyranoayl]oxy](15,3a5,44,7R,9R,10R,11R,13R,15R,15R]-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

205113-59-3 CAPLUS
14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15-15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[13-(5-quinolinyl)-2-propenyl)oxyl-10-[(3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxyl-(15,3as,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

$\cdot 10/075,012$

L14 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Absolute stereochemistry.
Double bond geometry unknown:

205113-61-7 CAPLUS
14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione,11-[3-(4-benzoxazoly1)-2-propeny1]oxy]-4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-10-[[3,4,6-trideoxy-3-c]dimethylamino]-6-D-xylo-bexopyranoxyl]oxy]-(15,3a5,4R,7R,9R,10R,11R,13R,15R,15aR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unkn

205113-62-8 CAPLUS $14,1-(\text{Nitriloethano})-2\text{H-oxacyclotetradecino}[4,3-d] \text{ oxazole-2, 6, 8 } (7\text{H, 9H})-trione, 11-[{3-(1\text{H-benzimidazol-4-yl})-2-propenyl}] \text{ oxy}]-4-ethyl-3,4,10,11,12,13,15,15-octahydro-3a,7,9,11,13,15-bexamethyl-10-[{3,4,6-trideoxy-3-(dimethylamino})-\beta-D-xylo-hexopyranosyl] \text{ oxy}]-,$

ANSWER 12 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) 205113-69-5 CAPLUS 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-17-(phenylmethyl)-11-(2-propenyloxy)-10-[(3,4,6-trideoxy-3-(dimethylamino)-#p-Nylo-hexopyranoxyl)oxy)-, (15,3aS,4R,7R,9R,10R,11R,13R,15R,15aR,17R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Me2N

205113-70-8 CAPLUS
14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8 (7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-18-(phenylmethyl)-11-(2-propenyloxy)-10-[[3,4,6-trideoxy-3-(dimethylamino]-FD-9xylo-hexopyranoxyl]oxyl-,
[15,3a5,44,7R,9R,10R,11R,13R,15R,15aR,18S]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

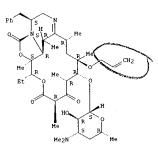
L14 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued) (1s,3as,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unkn

205113-63-9 CAPLUS
14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d)oxazole-2,6,8 (7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[[3-(8-quinoliny1)-2-propeny1]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino]-8D-sylo-bexopyranoxyl]oxy]-(15,3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

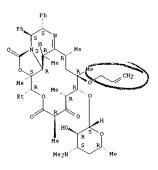
Absolute stereochemistry.
Double bond geometry upknown.

L14 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN



205113-71-9 CAPLUS
14,1-(Nitriloethano)-2H-oxacyclotetradecino{4,3-d}oxazole-2,6,8(7H,9H)trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15hexamethyl-17,18-diphenyl-11-(2-propenyloxy)-10-[{3,4,6-trideoxy-3cdimethylamino}-B-D-sylo-bexopyranosyl)oxyl(15,3as,4R,7R,9R,10R,11R,13R,15R,15aR,17S,18S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



205113-72-0 CAPLUS
14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15,18-

L14 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) heptamethyl-11-(2-propenyloxy)-10-[{3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranoxyl]oxyl-. (1S,3aS,4R,7R,9R,10R,11R,13R,15R,15RR,18S)-(9CI) (CA INDEX NAME)

205113-73-1 CAPLUS $\begin{array}{lll} 14.1-\{\text{Nitriloethano}\}-2\text{H-oxacyclotetradecino}\{4,3-d\}\cos 2\text{ole-2},6,8\,\{7\text{H},9\text{H}\}-\text{trione},4-\text{ethyl-3a},4,10,11,12,13,15,15\text{a-octahydro-3a},7,9,11,13,15,17,18-\text{octamethyl-11-}\{2-\text{propenyloxy}\}-10-\{[3,4,6-\text{trideoxy-3-}(\text{dimethylamino}]-\beta-\text{D-xylo-hexopyranoxyloxy}]-,\{1\text{S},3\text{aS},4\text{R},7\text{R},9\text{R},10\text{R},11\text{R},13\text{R},15\text{R},15\text{R},17\text{R},18\text{S})-\{9\text{Cl}\}-\text{CA INDEX NAME}\} \end{aligned}$

Absolute stereochemistry.

L14 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

205113-74-2 CAPLUS
7H-15,1,4-Ethanylylidene-2H-cyclopenta[d][1,16,3,6]dioxadiazacyclooctadeci
ne-2,7,9[8H]-trione, 5-ethyl-4,5,10,11,12,13,14,16a,17,18,19,19adode-ahydro-4,8,10,12,14,20-hexamethyl-12-[2-propenyloxy]-11-[[3,4,6tridecxy-3-d(dimethylamino]-8D-sylo-hexopyranoxyl)oxyl,
(15,45,58,88,108,118,128,148,16ak,19aS,208,218)- (9CI) (CA INDEX NAME)